

1 **CLAIMS**

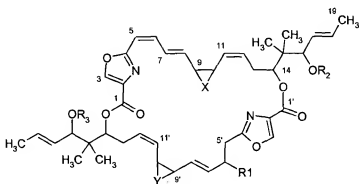
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3 What is claimed is:

4

5 1. A medicament containing at least one disorazole derivative of the general
6 formula I

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8

9

10

Formula I

11 in which independently of one another

12

13 R1 is:

14 (i) hydrogen

15 (ii) OR₄

16 (i) part of a double bond to C5'

17

18 R2, R3 and R4 are:

1

2

(i) hydrogen

3

(ii) unsubstituted or substituted (C₁-C₆)-alkyl,

4

(iii) (C₁-C₄)-alkyl substituted by one or more fluorine atoms, preferably
a trifluoromethyl group,

5

6

(iv) unsubstituted or substituted (C₁-C₄)-alkyl-(C₆-C₁₄)-aryl,
unsubstituted or substituted (C₁-C₄)-alkyl-heteroaryl

7

8

(v) (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkylaminocarbonyl (C₁-C₄)-
alkylaminothiocarbonyl, (C₁-C₆)-alkyl-carbonyl or (C₁-C₆)-
alkoxycarbonyl-(C₁-C₆)-alkyl,

9

10

11

12

it being possible for the substitution of the alkyl radical by F, Cl,

13

Br, I, CN, NH₂, NH-(C₁-C₂₀)-alkyl, NH-(C₃-C₁₂)-cycloalkyl, OH, O-(C₁-

14

C₂₀)-alkyl to take place singly or, on identical or different atoms, multiply

15

by identical or different

16

17

substituents, and it being possible for the substitution of an aryl

18

radical by F, Cl, Br, I, CN, NH₂, NH-(C₁-C₂₀)-alkyl, OH, O-(C₁-C₂₀)-alkyl

19

and/or (C₃-C₈)-heterocyclyl having 1 to 5 heteroatoms, preferably

20

nitrogen, oxygen, sulfur to take place singly or, on identical or different

21

atoms, multiply by identical or different substituents,

22

23 and

1

2 X, Y are: in each case individually independently of one another or
3 together oxygen, sulfur, two vicinal hydroxyl groups, two vicinal
4 methoxy groups, part of a double bond,

5

6 a compound being excluded in which R1 is methoxy, R2, R3 are hydrogen, X is
7 oxygen and Y is the part of a double bond,

8

9 its tautomers, E/Z isomers, stereoisomers, including the diastereomers and
10 enantiomers, and the physiologically tolerable salts thereof.

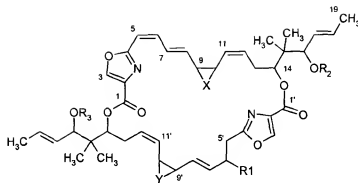
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12 2. The medicament as claimed in claim 1, containing the disorazole derivative
13 and pharmaceutically utilizable carriers and/or diluents and excipients in the
14 form of solutions, suspensions, emulsions, foams, ointments, pastes,
15 patches or implants for administration.

16

17 3. The use of disorazole derivatives of the general formula I

18



Formula 1

in which independently of one another

R1 is:

- (i) hydrogen
- (ii) OR4
- (iii) - part of a double bond to C5'

R2, R3 and R4 are:

- (i) hydrogen
- (ii) unsubstituted or substituted (C₁-C₆)-alkyl,
- (iii) (C₁-C₄)-alkyl substituted by one or more fluorine atoms, preferably a trifluoromethyl group,
- (iv) unsubstituted or substituted (C₁-C₄)-alkyl-(C₆-C₁₄)-aryl, unsubstituted or substituted (C₁-C₄)-alkyl-heteroaryl,

1 (v) (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkylaminocarbonyl (C₁-C₄-
2 alkylaminothiocarbonyl, (C₁-C₆)-alkyl-carbonyl or (C₁-C₆)-
3 alkoxycarbonyl-(C₁-C₆)-alkyl,
4

5 it being possible for the substitution of the alkyl radical by F, Cl,
6 Br, I, CN, NH₂, NH-(C₁-C₂₀)-alkyl, NH-(C₃-C₁₂)-cycloalkyl, OH, O-(C₁-
7 C₂₀)-alkyl to take place singly or, on identical or different atoms, multiply
8 by identical or different substituents, and it being possible for the
9 substitution of an aryl radical by F, Cl, Br, I, CN, NH₂, NH-(C₁-C₂₀)-alkyl,
10 OH, O-(C₁-C₂₀)-alkyl and/or (C₃-C₈)-heterocyclyl having 1 to 5
11 heteroatoms, preferably nitrogen, oxygen, sulfur to take place singly or,
12 on identical or different atoms, multiply by identical or different
13 substituents,
14

15 and
16

17 X, Y are: in each case individually independently of one another or
18 together oxygen, sulfur, two vicinal hydroxyl groups, two vicinal
19 methoxy groups, part of a double bond,
20

21 a compound being excluded in which R₁ is methoxy, R₂, R₃ are hydrogen, X is
22 oxygen and Y is the part of a double bond,
23

1 its tautomers, E/Z isomers, stereoisomers, including the diastereomers and
2 enantiomers, and the physiologically tolerable salts thereof,
3
4 for the production of a medicament for the treatment of benign or malignant
5 oncoses in humans or animals.
6
7 4. The use of disorazole derivatives of the general formula I as claimed in
8 claim 3 for the treatment of oncoses alone or in combination with cytotoxic
9 substances and/or inhibitors of signal transduction.
10
11 5. The use of disorazole derivatives of the general formula I for the production
12 of a medicament for the treatment of a disease in humans or animals which
13 is based on the rapid and uncontrolled proliferation of endogenous cells.
14
15 6. The use of disorazole derivatives of the general formula I for the production
16 of a medicament for the treatment of diseases which respond to
17 immunomodulatory action, such as psoriasis, arteriosclerosis, arthritis,
18 keratosis, multiple sclerosis and cancer.
19
20 7. The use of disorazole derivatives of the general formula I for the production
21 of a medicament for the treatment of infective diseases, such as cachexia,
22 malaria, AIDS and infection-related fever and pain.
23

- 1 8. The use of disorazole derivatives of the general formula I for the production
2 of a medicament for the treatment of inflammatory and allergic diseases,
3 inflammations mediated by eosinophils or proliferative diseases such as
4 airway diseases, bronchial asthma, allergic rhinitis, allergic conjunctivitis,
5 eczema and Crohn's disease.
6
- 7 9. The use of the disorazole derivative E1 of the general formula I, in which R1
8 and R2 are hydrogen, R3 is methyl and X and Y are oxygen, as claimed in
9 claim 3, for the production of a medicament for the treatment of benign or
10 malignant oncoses in humans or animals.
11
- 12 10. The use of a disorazole derivative of the general formula I as claimed in
13 claim 9 for the production of a medicament for the treatment of breast
14 cancer, ovarian cancer, lung cancer, skin cancer, prostate cancer, renal cell
15 cancer, hepatic cancer, pancreatic cancer, colonic cancer and cancers of
16 the brain in humans.
17
- 18 11. The use of a disorazole derivative of the general formula I as claimed in
19 claim 9 for the production of a medicament for the treatment of benign or
20 malignant oncoses in humans or animals in combination with other
21 antitumor agents.
22

12. The use of a disorazole derivative of the general formula I as claimed in claim 9 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with paclitaxel, docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin, ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with immunomodulators or antibodies and in particular in combination with inhibitors of signal transduction such as Herceptin, Glivec or Iressa and others.

13. The use of a disorazole derivative of the general formula I as claimed in claim 10 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with other antitumor agents.

14. The use of a disorazole derivative of the general formula I as claimed in claim 10 for the production of a medicament for the treatment of benign or malignant oncoses in humans or animals in combination with paclitaxel, docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin, ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with immunomodulators or antibodies and in particular in combination with inhibitors of signal transduction such as Herceptin, Glivec or Iressa and others.

1 15. The use of a disorazole derivative of the general formula I as claimed in
2 claim 11 for the production of a medicament for the treatment of benign or
3 malignant oncoses in humans or animals in combination with paclitaxel,
4 docetaxel, vincristine, vindesine, cisplatin, carboplatin, doxorubicin,
5 ifosfamide, cyclophosphamide, 5-FU, methotrexate or in combination with
6 immunomodulators or antibodies and in particular in combination with
7 inhibitors of signal transduction such as Herceptin, Glivec or Iressa and
8 others.

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